OH OH
$$N=1$$
 $N=1$ $N=1$

Scheme 1

$$\frac{NH_2}{N-R1}$$
 $\frac{(C_{1-4})CHO, NaBH_4}{N-R1}$
 $\frac{3}{4}$

Scheme 2

FIG. 1-A

Scheme 3:

Scheme 4:

Scheme 5:

Scheme 6:

Scheme 7:

FIG. 1-C

Scheme 8:

Scheme 9:

FIG. 1-D

Scheme 10:

18' (see Scheme II)

19' (see Scheme II)

Scheme11:

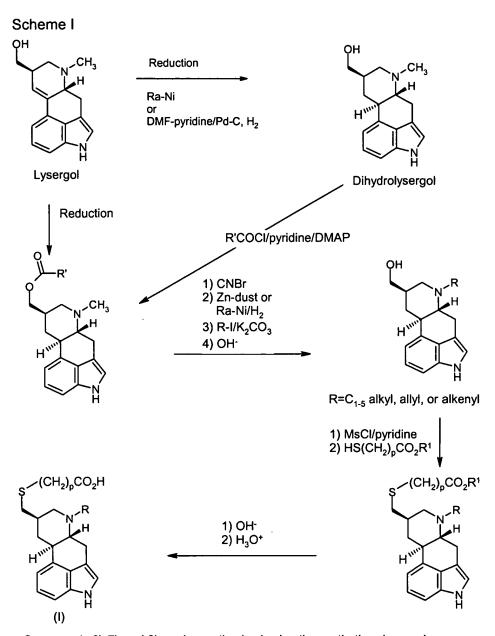
Scheme 12:

Scheme 13:

Scheme 14:

Scheme 15:

FIG. 1-G



Compounds 6', 7', and 8' can be synthesized using the synthetic scheme above:

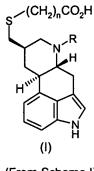
FIG. 1-H

Scheme II

Where R" and R" are, independently, H or C_1 - C_4 alkyl

Scheme III

Scheme IV:



Partially protected somatostatin ligand on resin or in solution

(From Scheme I)

1) couple

2) deprotect and cleave (ligand on resin) or deprotect (ligand in solution)

Partially protected somatostatin ligand on resin or in solution

- 1) couple
- 2) deprotect and cleave (ligand on resin) or deprotect (ligand in solution)

- Somatostatin / Derivative

FIG. 1-K

Scheme V:

FIG. 1-L

Scheme VI:

FIG. 1-M

HO OH
$$\frac{1}{1}$$
 $\frac{1}{2}$ $\frac{1}{1}$ $\frac{1}{2}$ $\frac{1}{1}$ $\frac{1}{2}$ $\frac{1}{1}$ $\frac{1}{2}$ $\frac{1}{1}$ $\frac{1}{2}$ $\frac{1}{2}$

FIG. 2

FIG. 3

FIG. 4

FIG. 5

FIG. 6

$$H_2N \longrightarrow N$$
 $H_2N \longrightarrow N$
 $H_2N \longrightarrow N$

FIG. 7

FIG. 8

HO
$$\frac{H}{M}$$
 $\frac{NH}{H}$ $\frac{25}{26}$ $\frac{26}{27}$

FIG. 9